



US006967210B2

(12) **United States Patent**
Smith et al.

(10) **Patent No.:** **US 6,967,210 B2**
(45) **Date of Patent:** **Nov. 22, 2005**

(54) **METHOD OF TREATING OF
DEMYELINATING DISEASES OR
CONDITIONS**

4,970,218 A 11/1990 Effland et al.

FOREIGN PATENT DOCUMENTS

(75) Inventors: **Craig P. Smith**, Hillsborough, NJ (US);
Michel P. Rathbone, Hamilton (CA);
Margaret Petty, Bridgewater, NJ (US);
David Rampe, Bernardsville, NJ (US)

DE	43 25 491	2/1995
EP	0287982	10/1988
EP	0415103	3/1991
EP	0683165	11/1995
EP	0731108	9/1996
WO	WO96/14066	5/1996
WO	WO01/04091	1/2001

(73) Assignee: **Aventis Pharmaceuticals Inc.**,
Bridgewater, NJ (US)

(*) Notice: Subject to any disclaimer, the term of this
patent is extended or adjusted under 35
U.S.C. 154(b) by 0 days.

(21) Appl. No.: **10/076,191**

(22) Filed: **Feb. 14, 2002**

(65) **Prior Publication Data**

US 2003/0105150 A1 Jun. 5, 2003

Related U.S. Application Data

(60) Provisional application No. 60/268,846, filed on Feb. 15,
2001.

(30) **Foreign Application Priority Data**

Aug. 9, 2001 (GB) 0119435

(51) **Int. Cl.⁷** **A61K 31/44**

(52) **U.S. Cl.** **514/339; 514/323; 514/336;**
514/337; 514/903

(58) **Field of Search** 514/336, 337,
514/339, 323, 903

(56) **References Cited**

U.S. PATENT DOCUMENTS

4,880,822 A * 11/1989 Effland et al. 514/339

OTHER PUBLICATIONS

C.T. Bever, Jr., The Current Status of Studies of Aminopy-
rides in Patients with Multiple Sclerosis, *Annals of Neuro-*
logy, Boston, MA, vol. 36, 1994, pp. S118-S121.

L. Tang et al., 4-Aminopyridine Derivatives: A Family of
Novel Modulators of Voltage-Dependent Sodium Channels,
Drug Development Research, vol. 44, No. 1, May 1998, pp.
8-13.

(Continued)

Primary Examiner—Dwayne Jones

(74) *Attorney, Agent, or Firm*—Barbara E. Kurys

(57) **ABSTRACT**

N-(Pyridinyl)-1H-indol-1-amines of formula I provide a
unique combination of blocking properties for both the
potassium and sodium channels. These compounds are use-
ful for the treatment of Demyelinating Diseases and Con-
ditions such as Multiple Sclerosis, Spinal Cord Injury,
Traumatic Brain Injury and Stroke. The compounds are also
useful for Stroke Rehabilitation, the treatment of Bladder
Irritation and Dysfunction, and the treatment of Neuropathic
Pain and Chemokine-Induced Pain.

24 Claims, 11 Drawing Sheets

